A

Indirubin (5h)

B

$$X$$
 R_1
 R_2
 R_1
 R_2

| Exemplary Compound | No. | X | Y | R_1 | R ₂ |
|--|-----|----|----|---------------------|-----------------|
| Indirubin | 5h | Н | Н | 0 | Н |
| 6-Bromoindirubin | 5a | Н | Br | 0 | Н |
| 6'-Bromoindirubin | 12h | Br | н | 0 | H |
| 6,6'-Dibromoindirubin | 12b | Br | Br | 0 | Н |
| 1-Methylindirubin-3'-oxime ("MeIO") | 13d | Н | Н | NOH | CH ₃ |
| 1-Methyl-6-bromoindirubin | 12c | Н | Br | 0 | CH₃ |
| 1-Methyl-6-bromoindirubin-3'-oxime ("MeBIO") | 13c | Н | Br | NOH | CH₃ |
| Indirubin-3'-oxime ("IO") | 7h | Н | Н | NOH | . Н |
| 6-Bromoindirubin-3'-oxime ("BIO") | 7a | Н | Br | NOH | Н |
| 6'-Bromoindirubin-3'-oxime | 13a | Br | Н | NOH | Н |
| 6,6'-Dibromoindirubin-3'-oxime | 13b | Br | Br | NOH | Н |
| 6-Bromoindirubin-3'-methoxime | 9a | Н | Br | NOCH ₃ | Н |
| 6-Bromoindirubin-3'-acetoxime | 8a | Н | Br | NOCOCH ₃ | Н |
| 1-Methylindirubin | 12d | Н | Н | 0 | CH ₃ |

FIGURE 2A

Reagents: (a) chloral hydrate, H₂NOH.HCl, H⁺; (b) H₂SO₄; (c) NaNO₃, H₂SO₄, 0 °C; (d) [CH₃(CH₂)₁]SnCH=CH₂/ [(C₂H₃)Pl₂Pd, dioxane, 100°C (e) 3-acetoxyindole, Na₂CO₂/MeOH 25°C; (f) H₂NOH.HCl, Py, 120 °C (g) Ac₂O. Py, 0 °C; (h) H₂NOCH₃.HCl, Py, 120 °C

FIGURE 2B

 $Reagents: (a) (CH_3)_2 SO_4, DMF; (b) Na_2 CO_9 MeOH, 25 °C; (c) H_2 NOH. HCl, Py, 120 °C; (d) Ae_2 O, Py, 0 °C Color (e) No. (e) No$

FIGURE 3A

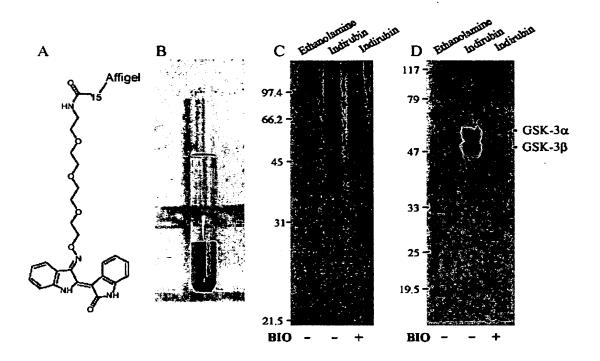
Reagents: (a) NaNO₃, H₂SO₄, 0 °C;

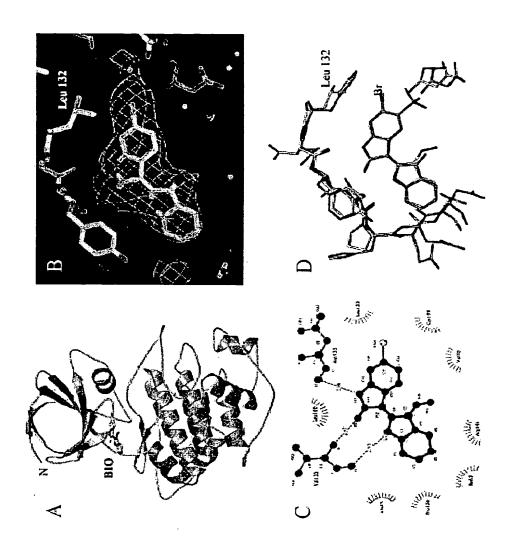
- (b) 2,2-dimethylpropane-1,3-diol, p-TSA, cyclohexane;
- (c) Pd/C (10%), H₂, MeOH;
- (d) Ac₂O, Py, 0 °C;
- (e) aq. oxalic acid, 60 °C;
- (f) H₂SO₄, 30%, 100 °C/borax;
- (g) 3-acetoxyindole, Na₂CO₃/MeOH 25 °C;
- (h) H₂NOH.HCl, Py, 120°C;

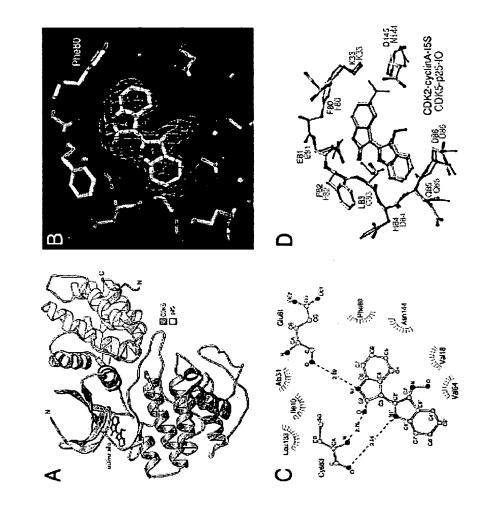
FIGURE 3B

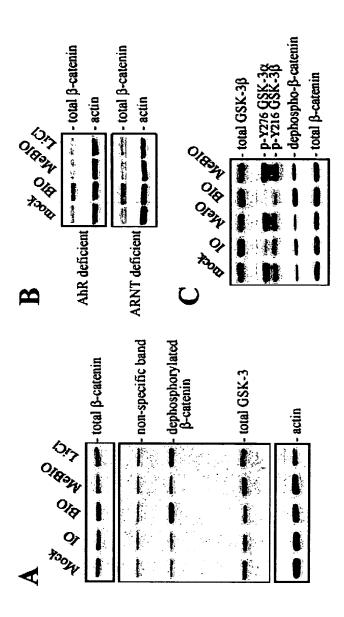
Reagents: (a) Br_2 , EtOH, 0 °C; (b) Ac_2O , Py, 0 °C;

- (c) aq. oxalic acid, 60 °C;
- (d) H₂SO₄, 30%, 100 °C/borax;
- (e) 3-acetoxyindole, Na₂CO₃/MeOH 25 °C; (f) H₂NOH.HCl, Py, 120°C.









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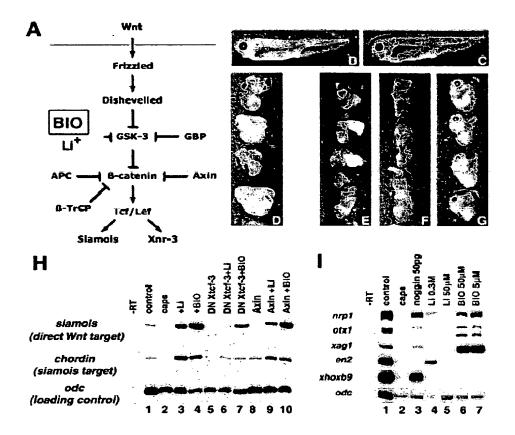


FIGURE 9A

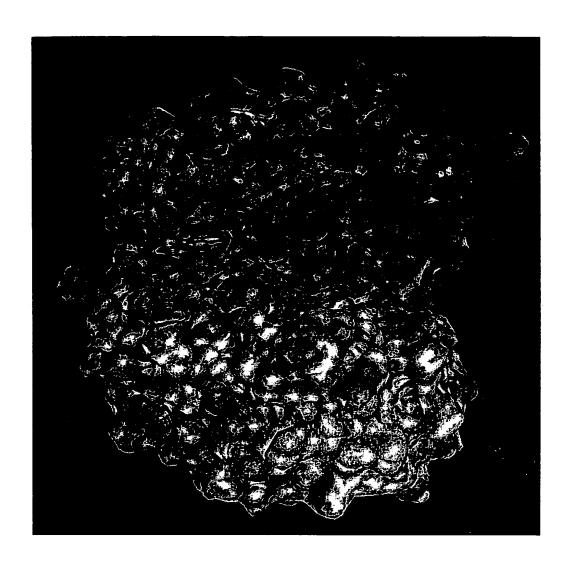


FIGURE 9B

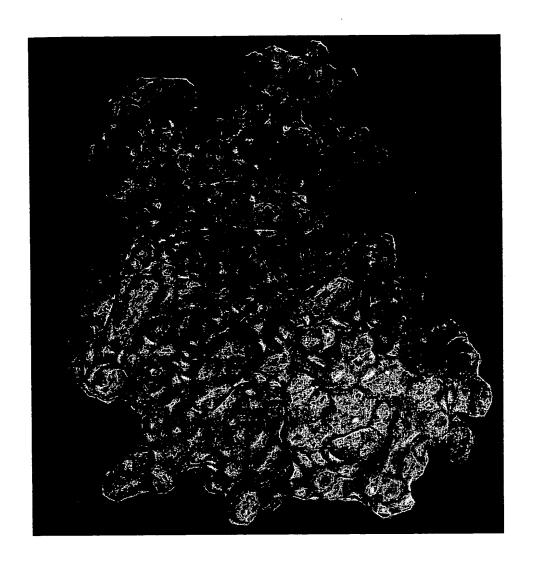


FIGURE 9C

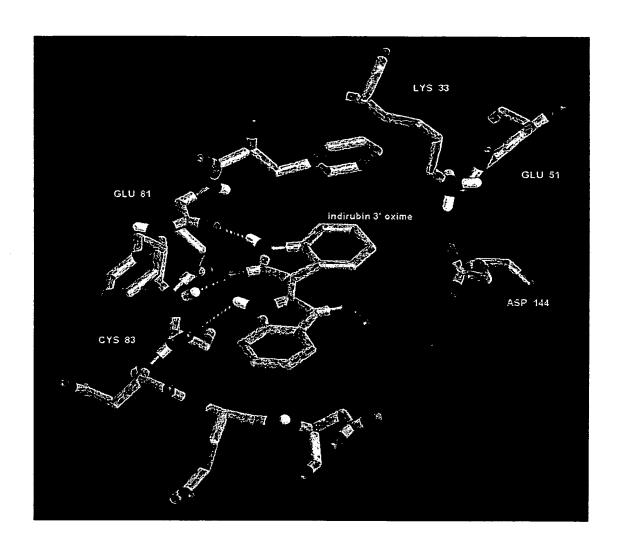
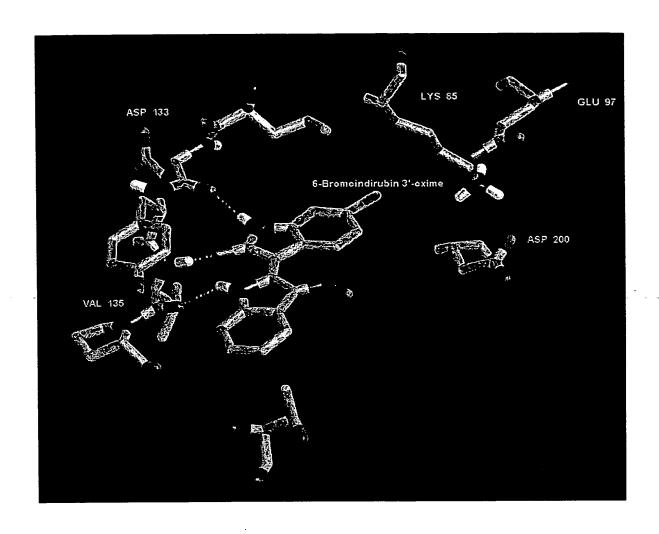
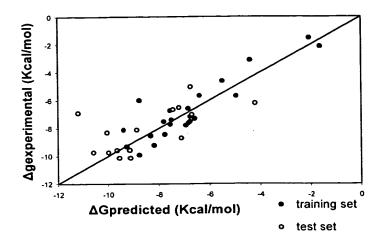
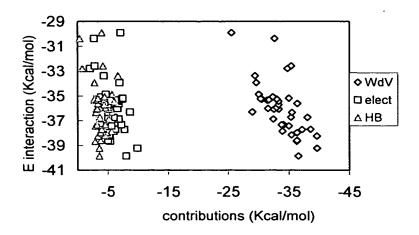


FIGURE 9D

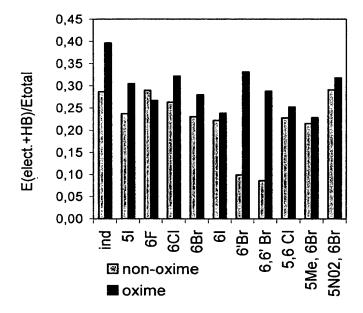


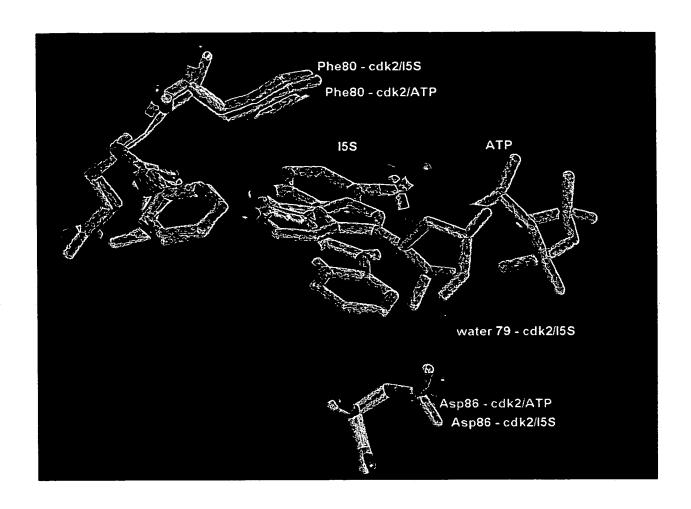


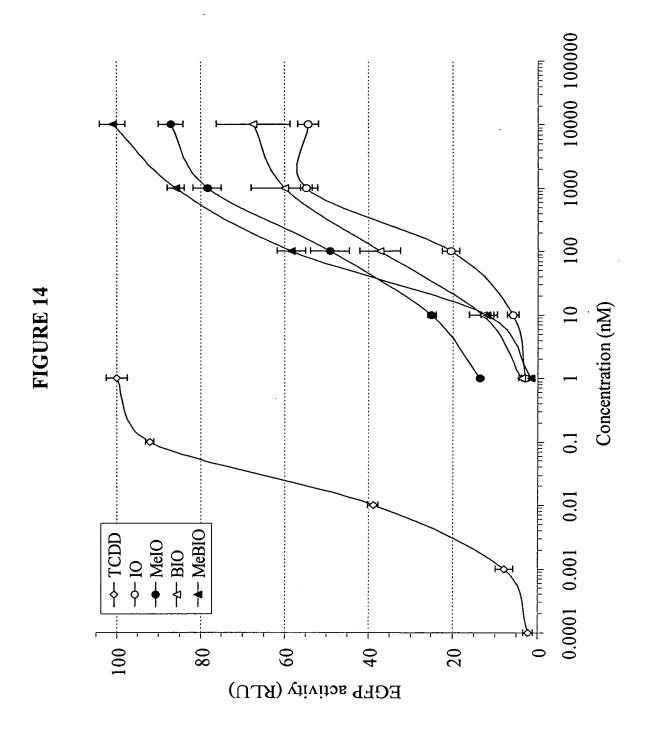
 \mathbf{A}



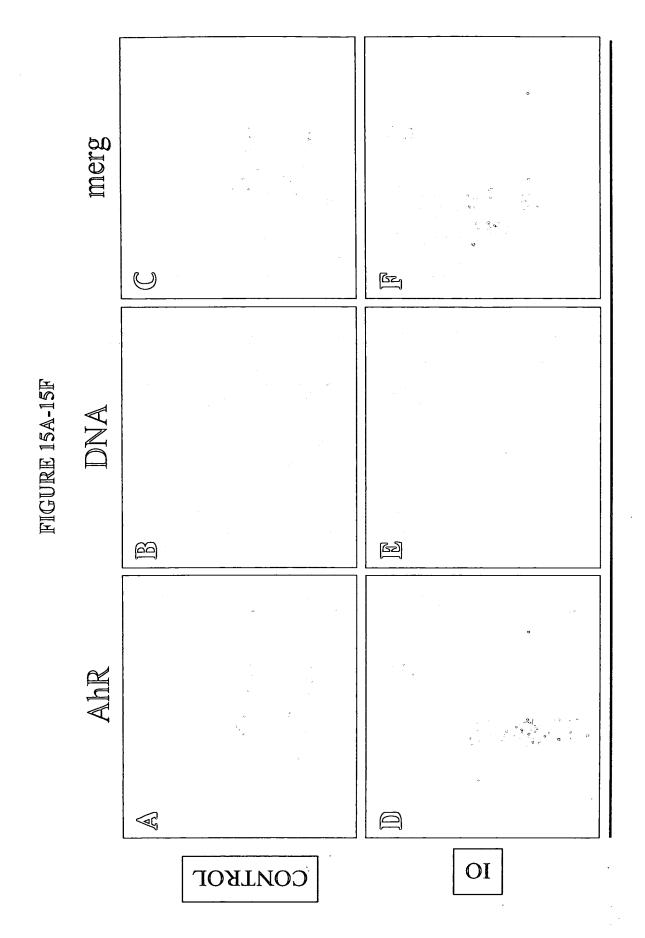
В





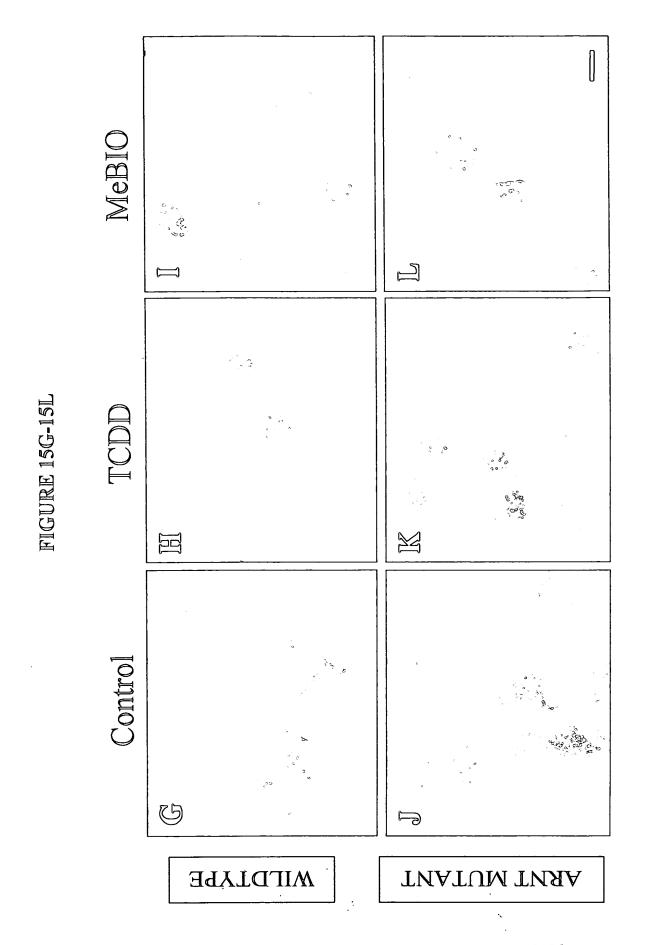


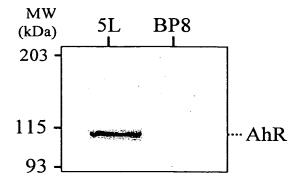
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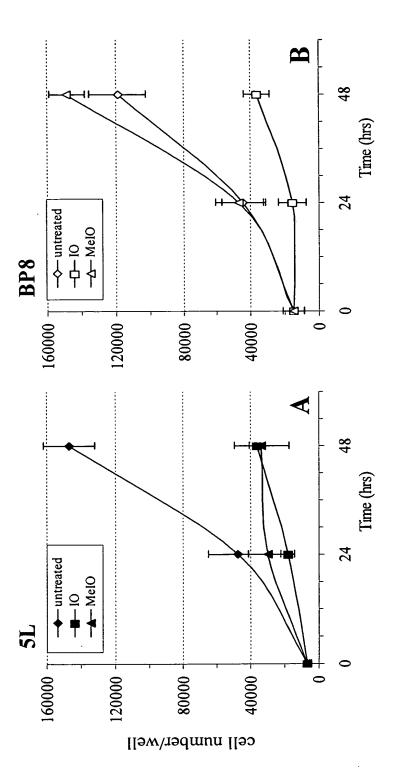


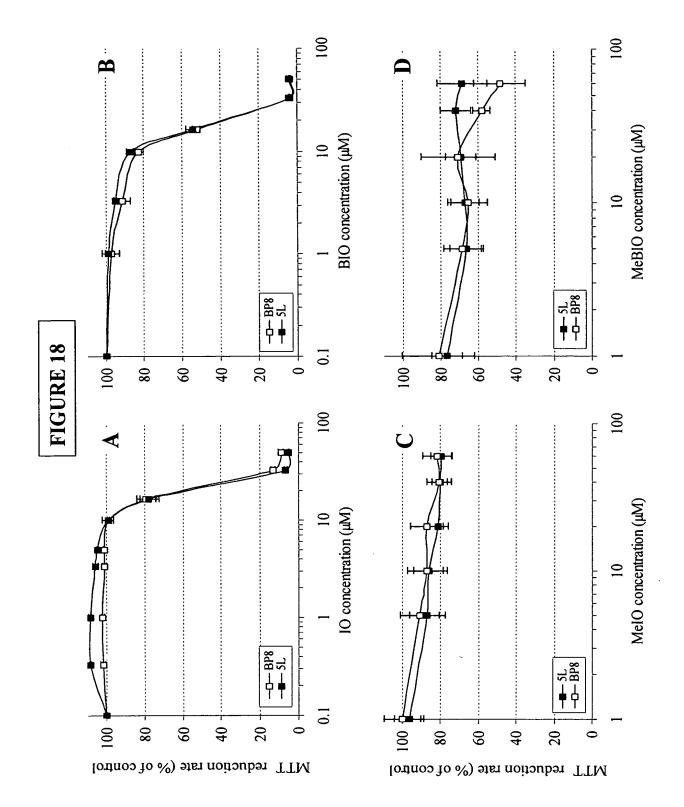
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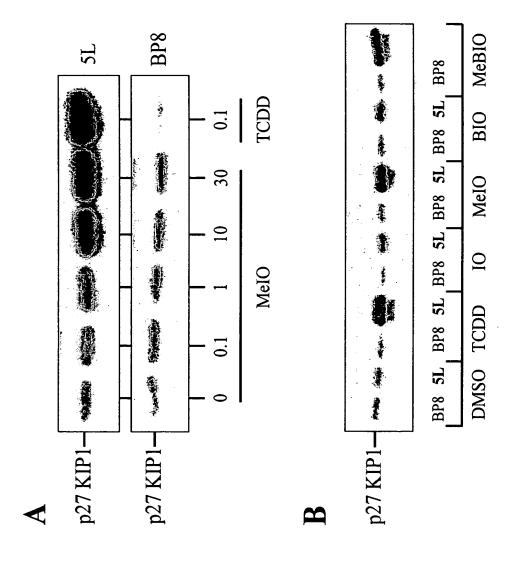
FIGURE 19

BIO MeBIO

MeIO

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FIGURE 20



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